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## ASENAPINE (Saphris, Secuado) Fact Sheet [G]

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### Bottom Line:

Asenapine's claim to fame is its availability in both sublingual and skin patch formulations, so it's a good choice for patients who can't or don't want to swallow a pill. Mouth numbness (Saphris), sedation, dizziness, akathisia, weight gain, and potential for allergic reaction are significant liabilities. Not recommended for first-line use.

### FDA Indications:

**Schizophrenia; bipolar disorder**, acute and maintenance treatment of manic or mixed episodes (adults, children 10–17 years).

### Off-Label Uses:

Bipolar depression; behavioral disturbances; impulse control disorders.

### Dosage Forms:

- **SL tablets (G):** 2.5 mg, 5 mg, 10 mg. (Must be taken sublingually because if swallowed, too much medication is metabolized by the liver during first-pass metabolism.)
- **Transdermal patch (Secuado):** 3.8 mg, 5.7 mg, 7.6 mg/24 hour patch.

### Dosage Guidance:

- Schizophrenia: Start 5 mg SL BID, may ↑ after one week to max dose of 10 mg SL BID.
- Bipolar (adults): Same dosing as schizophrenia.
- Bipolar (children): Start 2.5 mg SL BID, increase as needed up to 10 mg SL BID.
- Do not swallow tablets. Avoid food or drink for 10 minutes after taking (they significantly reduce absorption and bioavailability).
- For patch: Start 3.8 mg/24 hour, may ↑ after one week to 5.7 mg/24 hour or to max dose of 7.6 mg/24 hour after one more week. Patch should be applied to hip, abdomen, upper arm, or upper back area.

**Monitoring:** Fasting glucose, lipids.

**Cost:** SL: \$\$\$\$; patch: \$\$\$\$

### Side Effects:

- Most common: Akathisia (seems to be dose-related), oral hypoesthesia (numbing of the tongue or decreased oral sensitivity), somnolence, dizziness, EPS, weight gain.
- Serious but rare: Hypersensitivity reactions including anaphylaxis, angioedema, low blood pressure, rapid heart rate, swollen tongue, difficulty breathing, wheezing, or rash; orthostatic hypotension and syncope, particularly early in treatment (FDA warning, September 2011).
- Pregnancy/breastfeeding: Not enough data to recommend.

### Mechanism, Pharmacokinetics, and Drug Interactions:

- Dopamine D2 and serotonin 5-HT2A receptor antagonist.
- Metabolized by glucuronidation and CYP1A2;  $t_{1/2}$ : 24 hours. Inhibitor of 2D6; may double paroxetine levels. Smoking may induce metabolism and may lower levels of asenapine via 1A2 induction; adjust dosing. CYP1A2 inhibitors (eg, fluvoxamine) may increase levels of asenapine; adjust dose.
- Caution with antihypertensive agents and other drugs that can cause additive hypotension or bradycardia.

### Clinical Pearls:

- Has a receptor-binding profile similar to clozapine, although asenapine has very little anticholinergic activity.
- Weight gain seems to be a problem in many patients.
- Contraindicated in patients with severe hepatic impairment due to seven-fold higher levels.
- Most useful for patients who don't like swallowing pills.
- Steady state with patch is achieved in 72 hours. Patch daily dose equivalence of 3.8 mg is 5 mg SL BID and 7.6 mg is 10 mg SL BID.
- Mnemonic for remembering the brand name: The first two letters of asenapine are A-S, or Asenapine-Saphris.

### Fun Fact:

Black cherry flavor was developed after patients complained about original tablets.